

ABSTRACT

Racemates, diastereoisomers and optical isomers of a compound of formula (I):

T,0010

$$\begin{array}{c|c} B & & \\ & & \\ & & \\ Y & O \\ O & & \\ & &$$

wherein **B** is H, a C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl; Het or (lower alkyl)-Het, all of which optionally substituted with C₁₋₆ alkyl; C₁₋₆ alkoxy; C₁₋₆ alkanoyl; hydroxy; hydroxyalkyl; halo; haloalkyl; nitro; cyano; cyanoalkyl; amino optionally substituted with C₁₋₆ alkyl; amido; or (lower alkyl)amide; or

B is an acyl derivative of formula R_4 -C(O)-; a carboxyl of formula R_4 -O-C(O)-; an amide of formula R_4 -N(R_5)-C(O)-; a thioamide of formula R_4 -N(R_5)-C(S)-; or a sulfonyl of

formula R_4 -SO₂; R_5 is H or C_{1-6} alkyl; and

Y is H or C_{1-6} alkyl;

 ${f R}^3$ is C_{1-8} alkyl, C_{3-7} cycloalkyl, or C_{4-10} alkylcycloalkyl, all optionally substituted with hydroxy, C_{1-6} alkoxy, C_{1-6} thioalkyl, amido, (lower alkyl)amido, C_6 or C_{10} aryl, or C_{7-16} aralkyl;

15 R_2 is CH_2 - R_{20} , NH- R_{20} , O- R_{20} or S- R_{20} , wherein R_{20} is a saturated or unsaturated C_{3-7} cycloalkyl or C_{4-10} (alkylcycloalkyl), all of which being optionally mono-, di- or trisubstituted with R_{21} ,

or $\mathbf{R_{20}}$ is a C_6 or C_{10} aryl or C_{7-14} aralkyl optionally substituted, or $\mathbf{R_{20}}$ is Het or (lower alkyl)-Het, both optionally substituted, Het or (lower alkyl)-Het; carboxyl; carboxy(lower alkyl); C_6 or C_{10} aryl, C_{7-14} aralkyl or Het, said aryl, aralkyl or Het being optionally substituted; and

 \mathbf{R}^1 is H; C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{2-6} alkenyl, or C_{2-6} alkynyl, all optionally substituted with halogen; or a pharmaceutically acceptable salt or ester thereof.

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